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=> s paroxetine(l)amorphous
         1375 PAROXETINE
       190425 AMORPHOUS
L1          8 PAROXETINE(L)AMORPHOUS

=> d bib 1-8

L1   ANSWER 1 OF 8  CAPLUS  COPYRIGHT 2001 ACS
AN   2001:319726  CAPLUS
DN   134:331635
TI   Amorphous paroxetine composition
IN   Ronsen, Bruce; Sadhale, Yogesh D.; El-Rashidy, Ragab
PA   Pentech Pharmaceuticals, Inc., USA
SO   PCT Int. Appl., 25 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.        KIND  DATE           APPLICATION NO.  DATE
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PI   WO 2001030349      A1   20010503       WO 2000-US29686  20001027
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
             HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
             LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
             SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU,
             ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
             CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
PRAI US 1999-428812    A    19991028
RE.CNT  2
RE
(1) Benneker; US 5874447 A 1999 CAPLUS
(2) Krape; US 5955475 A 1999 CAPLUS

L1   ANSWER 2 OF 8  CAPLUS  COPYRIGHT 2001 ACS
AN   2000:841959  CAPLUS
DN   134:21450
TI   A pharmaceutical composition containing an active agent in solid
amorphous
     form
IN   Chen, Jinling; Vilkov, Zalman
PA   Purepac Pharmaceutical Co., USA
SO   PCT Int. Appl., 38 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.        KIND  DATE           APPLICATION NO.  DATE
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PI   WO 2000071098      A1   20001130       WO 2000-US14049  20000523
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR,
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             ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,
             LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD,
             SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA,
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ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
PRAI US 1999-317448 A 19990524
RE.CNT 5
RE
(1) Ares; US 5399584 A 1995 CAPLUS
(2) Busetti; US 5788987 A 1998 CAPLUS
(3) Carli; US 5275824 A 1994 CAPLUS
(4) Kuhrts; US 5993860 A 1999 CAPLUS
(5) Perry; US 6066643 A 2000 CAPLUS

L1 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2001 ACS
AN 2000:335408 CAPLUS
DN 132:321806
TI Effect on particle properties of paroxetine hydrochloride obtained by precipitation from a supercritical or near-critical solution
IN Camburn, Ian David; Merrifield, David Roy; Valder, Christopher Edmund
PA SmithKline Beecham PLC, UK
SO PCT Int. Appl., 13 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000027844	A1	20000518	WO 1999-GB3664	19991105
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9964817	A1	20000529	AU 1999-64817	19991105
PRAI	GB 1998-24298	A	19981105		
	WO 1999-GB3664	W	19991105		

RE.CNT 5
RE
(1) Asahi Glass Co Ltd; EP 0810224 A 1997 CAPLUS
(2) Beecham Group Plc; EP 0223403 A 1987 CAPLUS
(3) Smithkline Beecham Plc; WO 9624595 A 1996 CAPLUS
(4) Univ Bradford; WO 9501221 A 1995
(5) Ward, N; WO 9831365 A 1998 CAPLUS

L1 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2001 ACS
AN 1999:722902 CAPLUS
DN 131:327573
TI Aqueous process for manufacturing paroxetine solid dispersions
IN Hein, William A., II; Chang, Sou-Chan; Kao, Huai-Hung D.
PA Endo Pharmaceuticals Inc., USA
SO PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DT Patent
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9956751	A1	19991111	WO 1999-US9835	19990505
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 6168805	B1	20010102	US 1998-74355	19980507
	AU 9937876	A1	19991123	AU 1999-37876	19990505
	EP 1075263	A1	20010214	EP 1999-920358	19990505
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
PRAI	US 1998-74355	A	19980507		
	WO 1999-US9835	W	19990505		

RE.CNT 5

RE

(1) Asahi Glass Co Ltd; EP 0810224 A 1997 CAPLUS
(2) Hein, W; WO 9900131 A 1999 CAPLUS
(3) Howard, H; US 5597826 A 1997 CAPLUS
(4) Pathak, R; WO 9516448 A 1995 CAPLUS
(5) Ward, N; WO 9831365 A 1998 CAPLUS

L1 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2001 ACS
AN 1999:233798 CAPLUS
DN 130:272021
TI **Amorphous paroxetine** composition
IN Ronsen, Bruce; El-Rashidy, Ragab
PA Pentech Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DT Patent
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9916440	A1	19990408	WO 1998-US20435	19980930
	W: CA, CN, JP, KR, MX, NO				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	EP 1019053	A1	20000719	EP 1998-951989	19980930
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
PRAI	US 1997-940058	A	19970930		
	WO 1998-US20435	W	19980930		

RE.CNT 17

RE

(1) Barnes; US 4721723 A 1988 CAPLUS
(2) Byron; 1996, 8, P687 CAPLUS
(3) Byron; Drug Delivery V program Proc 1996, P103 CAPLUS
(4) G D Searle & Co; EP 0212641 A2 1987 CAPLUS
(5) Kai; Chem Pharm Bull 1996, V44(3), P568 CAPLUS

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2001 ACS
AN 1998:509101 CAPLUS
DN 129:127171
TI Preparation of free-flowing and easily soluble paroxetine
IN Jacewicz, Victor Witold; Ward, Neal
PA Smithkline Beecham PLC, UK
SO PCT Int. Appl., 11 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9831365	A1	19980723	WO 1998-GB81	19980112
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9855673	A1	19980807	AU 1998-55673	19980112
	AU 730532	B2	20010308		
	EP 952831	A1	19991103	EP 1998-900575	19980112
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO				
	BR 9806754	A	20000314	BR 1998-6754	19980112
	NO 9903460	A	19990914	NO 1999-3460	19990714
PRAI	GB 1997-692	A	19970115		
	GB 1997-14873	A	19970715		
	WO 1998-GB81	W	19980112		

L1 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2001 ACS
AN 1997:783663 CAPLUS
DN 128:53203
TI Method of producing **amorphous paroxetine** hydrochloride
IN Wang, Shu-zhong; Okazoe, Takashi; Matsumura, Yasushi
PA Asahi Glass Co., Ltd., Japan
SO Eur. Pat. Appl., 6 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 810224	A1	19971203	EP 1997-108713	19970530
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 10045756	A2	19980217	JP 1997-135481	19970526
	CA 2206592	AA	19971130	CA 1997-2206592	19970529
	EP 1090918	A1	20010411	EP 2000-125372	19970530
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
PRAI	JP 1996-137192	A	19960530		
	EP 1997-108713	A3	19970530		

L1 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2001 ACS
AN 1997:640249 CAPLUS
DN 127:298742
TI **Amorphous paroxetine** composition
IN Ronsen, Bruce; El-Rashidy, Ragab
PA Pentech Pharmaceuticals, Inc., USA
SO U.S., 8 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5672612	A	19970930	US 1996-708802	19960909
	WO 9809963	A1	19980312	WO 1997-US15763	19970908
	W: GB, JP				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	GB 2331519	A1	19990526	GB 1999-4128	19970908
	GB 2331519	B2	20000119		
	EP 931080	A1	19990728	EP 1997-939837	19970908
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 2001500129	T2	20010109	JP 1998-512969	19970908
PRAI	US 1996-708802	A	19960909		
	WO 1997-US15763	W	19970908		

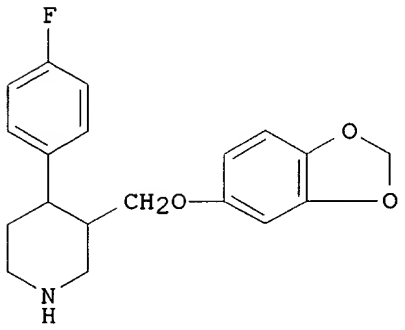
L5 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2001 ACS
AN 2001:300712 CAPLUS
DN 134:311117
TI Novel processes for synthesis of paroxetine
IN Crowe, David; Ward, Neal; Wells, Andrew Stephen
PA Smithkline Beecham Plc, UK
SO PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001029032	A1	20010426	WO 2000-GB4066	20001020

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI GB 1999-24882 A 19991020
OS MARPAT 134:311117
GI



I

AB Three process schemes for a complete route to paroxetine (I) starting from arecoline are disclosed.

RE.CNT 9
RE
(1) Beecham Group Plc; EP 0219934 A 1987 CAPLUS
(2) Beecham Group Plc; EP 0223334 A 1987 CAPLUS
(3) Christensen, J; US 4007196 A 1977 CAPLUS
(4) Engelstoft, M; ACTA CHEMICA SCANDINAVICA 1996, V50(2), P164 CAPLUS
(5) Kell, C; WO 9802556 A 1998 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2001 ACS
AN 2001:300711 CAPLUS
DN 134:311116
TI Process for the preparation of paroxetine
IN Borrett, Gary Thomas; Crowe, David; Ward, Neal; Wells, Andrew Stephen
PA Smithkline Beecham P.L.C., UK
SO PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001029031	A1	20010426	WO 2000-GB4060	20001020

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI GB 1999-24855 A 19991020
OS MARPAT 134:311116
AB Three process schemes for a complete route to paroxetine from a pyridine ester are disclosed. E.g., enzymic resoln. of trans-1-methyl-3-carbomethoxy-4-(4'-fluorophenyl)piperidine is described.
RE.CNT 5
RE
(1) Beecham Group Plc; EP 0219934 A 1987 CAPLUS
(2) Beecham Group Plc; EP 0223334 A 1987 CAPLUS
(3) Beecham Group Plc; EP 0300617 A 1989 CAPLUS
(4) Christensen, J; US 4007196 A 1977 CAPLUS
(5) Engelstoft, M; ACTA CHEMICA SCANDINAVICA 1996, V50(2), P164 CAPLUS

L5 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2001 ACS
AN 2001:265386 CAPLUS
DN 134:295740
TI Process for the preparation of paroxetine intermediate
IN Crowe, David; Ward, Neal
PA Smithkline Beecham P.L.C., UK
SO PCT Int. Appl., 13 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001025201	A1	20010412	WO 2000-GB3797	20001004

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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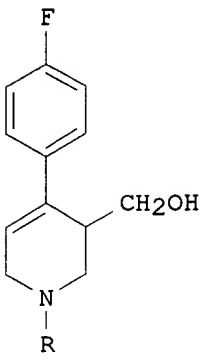
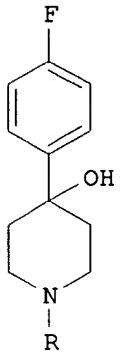
CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
PRAI GB 1999-23539 A 19991005
OS MARPAT 134:295740
GI



I



II

AB The title compds. [I; R = (un)substituted Ph] which are valuable intermediates in the prepn. of paroxetine (for treating depression, obsessive disorder and panic) were prepd. by reacting the compd. II with formaldehyde in an acidic medium at elevated temp.
RE.CNT 4
RE
(1) Borza, I; WO 9801424 A 1998 CAPLUS
(2) Christensen, J; US 4007196 A 1977 CAPLUS
(3) Johnson, A; US 5371092 A 1994
(4) Ziering; J ORG CHEM 1947, V12, P894 CAPLUS

L5 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2001 ACS
AN 2001:137210 CAPLUS
DN 134:198046
TI Preparation of paroxetine free base
IN Craig, Andrew Simon; Jones, David Alan; O'Keeffe, Deirdre; Ward, Neal
PA SmithKline Beecham P.L.C., UK
SO PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001012624	A1	20010222	WO 2000-GB3107	20000811
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 724845	B3	20000928	AU 1999-48821	19990920

PRAI GB 1999-19052 A 19990812
AB Processes are disclosed for prepg. paroxetine free base in substantially pure form. The free base may be combined with a pharmaceutically acceptable diluent and/or converted in-situ to a pharmaceutically acceptable salt. N-phenoxycarbonyl paroxetine was refluxed with potassium hydroxide in toluene to obtain paroxetine base which was sepd. and purified.
RE.CNT 6
RE
(1) Beecham Group Plc; EP 0223403 A 1987 CAPLUS
(2) Borza, I; WO 9801424 A 1998 CAPLUS
(3) Christensen, J; US 4007196 A 1977 CAPLUS
(4) Synthon, B; WO 9856787 A 1998 CAPLUS
(5) Ward Neal; WO 9831365 A 1998 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2001 ACS
AN 2000:911056 CAPLUS
DN 134:76385
TI Pharmaceutical compositions containing water-soluble salts of paroxetine
IN Al-Ghazawi, Ahmad Khalaf Al-Deeb; Elder, David Philip; Meneaud, Padma
PA SmithKline Beecham P.L.C., UK
SO PCT Int. Appl., 18 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000078290	A2	20001228	WO 2000-EP5638	20000616

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI GB 1999-14601 A 19990622
GB 1999-14712 A 19990623
GB 1999-27498 A 19991119
GB 1999-28693 A 19991203
AB Pharmaceutical compns. comprising water sol. salts of **paroxetine** such as **paroxetine methanesulfonate** are described.

L5 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2001 ACS
AN 2000:509013 CAPLUS
DN 133:94594
TI Pharmaceutical compositions containing **paroxetine methanesulfonate**
IN Ahmed, Khalaf Al-Deeb Al-Ghazaw
PA SmithKline Beecham P.L.C., UK
SO Patentschrift (Switz.), 7 pp.
CODEN: SWXXAS
DT Patent
LA French

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CH 690024	A	20000331	CH 1999-2219	19991203
	AU 727000	B3	20001130	AU 1999-63067	19991203
	FI 9902609	A	20001222	FI 1999-2609	19991203
	DK 9901730	A	20001223	DK 1999-1730	19991203
	GB 2351233	A1	20001227	GB 1999-28673	19991203
	NO 9905945	A	20001227	NO 1999-5945	19991203
	DE 19958228	A1	20001228	DE 1999-19958228	19991203
	NL 1013750	C1	20001228	NL 1999-1013750	19991203
	WO 2000078291	A1	20001228	WO 1999-GB4044	19991203
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	FR 2795327	A1	20001229	FR 1999-15278	19991203
	EP 1064936	A1	20010103	EP 1999-309717	19991203
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	AU 9963072	A1	20010104	AU 1999-63072	19991203
	NL 1013749	C2	20010108	NL 1999-1013749	19991203
PRAI	GB 1999-14601	A	19990622		
	GB 1999-14709	A	19990623		
	GB 1999-27501	A	19991119		

AB Pharmaceutical compns. contg. **paroxetine methanesulfonate** (I) and a hydrophilic or hydrosol. dilg. agent such as carbohydrates is disclosed. A tablet contained I 7.38, calcium dihydrogen phosphate 89.92, sodium starch glycolate 1.70, and magnesium stearate 1.00%.

L5 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2001 ACS
AN 2000:34594 CAPLUS
DN 132:78472
TI Preparation and formulation of **paroxetine methanesulfonate**
IN Craig, Andrew Simon; Jones, David Alan; O'Keeffe, Deirdre; Ward, Neal
PA SmithKline Beecham PLC, UK
SO Eur. Pat. Appl., 27 pp.
CODEN: EPXXDW
DT Patent
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 970955	A1	20000112	EP 1999-303151	19990423
	EP 970955	B1	20000802		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	CH 689805	A	19991130	CH 1999-723	19990420
	NL 1011874	C1	19990712	NL 1999-1011874	19990423
	GB 2336364	A1	19991020	GB 1999-9505	19990423
	GB 2336364	B2	20000510		

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     BE 1011664        A6   19991109       BE 1999-294       19990423
     AU 713131         B3   19991125       AU 1999-23937     19990423
     AU 713877         B3   19991209       AU 1999-23938     19990423
     DK 9900554        A    20000103       DK 1999-554       19990423
     FI 9900922        A    20000103       FI 1999-922       19990423
     NO 9901944        A    20000103       NO 1999-1944      19990423
     FR 2780728        A1   20000107       FR 1999-5185      19990423
     FR 2780728        B1   20010216
     NL 1011875        A1   20000107       NL 1999-1011875   19990423
     NL 1011875        C2   20000324
     WO 2000001694     A1   20000113       WO 1999-GB1253    19990423
         W:  AE, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU,
             CZ, DE, DE, DK, DK, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU,
             ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,
             LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG,
             SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
             ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
             CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     AU 9923928        A1   20000120       AU 1999-23928     19990423
     AU 9936191        A1   20000124       AU 1999-36191     19990423
     GB 2339428        A1   20000126       GB 1999-20332     19990423
     DE 19918588       A1   20000127       DE 1999-19918588  19990423
     US 6063927        A    20000516       US 1999-299060    19990423
     EP 1020463        A1   20000719       EP 2000-201289    19990423
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, FI, RO
     AT 195121         E    20000815       AT 1999-303151    19990423
     BE 1012403        A5   20001003       BE 1999-293       19990423
     ES 2149044        T3   20001016       ES 1999-303151    19990423
     GB 2352395        A1   20010131       GB 2000-20002648719990423
     EP 1089996        A1   20010411       EP 1999-918159    19990423
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, FI, RO
     NL 1012271        A1   19990712       NL 1999-1012271   19990608
     NL 1012271        C2   19990923
     NL 1012272        C1   19990712       NL 1999-1012272   19990608
     WO 2000001692     A1   20000113       WO 1999-EP4543    19990630
         W:  AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,
             DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,
             JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,
             MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
             TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ,
             MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
             ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
             CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     AU 9949039        A1   20000124       AU 1999-49039     19990630
     EP 1091958        A1   20010418       EP 1999-932772    19990630
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, FI, RO
     BE 1012420        A6   20001003       BE 1999-832       19991223
     EP 1020464        A1   20000719       EP 2000-201290    20000410
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, FI, RO
     NO 2000006547     A    20010212       NO 2000-6547      20001221
PRAI GB 1998-14316     A    19980702
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GB 1998-21732 A 19981006
GB 1999-2935 A 19990210
EP 1999-303151 A3 19990423
GB 1999-9505 A3 19990423
WO 1999-GB1253 W 19990423
WO 1999-EP4543 W 19990630

AB The title compd. was prepd. in several crystn. polymorphs and was used to prep. paroxetine hydrochloride.

RE.CNT 32

RE

(1) Anon; EP 0188081 A 1986 CAPLUS
(4) Anon; JP 61148121 A 1986 CAPLUS
(6) Anon; EP 0223403 A 1987 CAPLUS
(8) Anon; JP 62129280 A 1987 CAPLUS
(11) Anon; US 4721723 A 1988 CAPLUS

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2001 ACS
AN 1999:613898 CAPLUS
DN 131:233586
TI Crystalline form of paroxetine
IN Craig, Andrew Simon; Ward, Neal; McIlwaine, Wilson
PA Smithkline Beecham PLC, UK
SO PCT Int. Appl., 18 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9947519	A1	19990923	WO 1999-GB793	19990316
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9928471	A1	19991011	AU 1999-28471	19990316
	BR 9908825	A	20001121	BR 1999-8825	19990316
	EP 1064282	A1	20010103	EP 1999-909104	19990316
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO				
	NO 2000004583	A	20000915	NO 2000-4583	20000914
PRAI	GB 1998-5581	A	19980316		
	GB 1998-13054	A	19980617		
	GB 1998-17115	A	19980806		
	WO 1999-GB793	W	19990316		

AB Pure, solvent-free, for example cryst., paroxetine free base is prepd. and used in therapy to treat depression. Cryst. paroxetine free base was prepd. by addn. of Et3N to paroxetine-HCl.

RE.CNT 3

RE

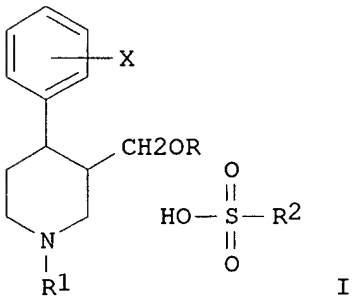
(1) Beecham Group PLC; EP 0223403 A 1987 CAPLUS
(2) Christensen, J; US 4007196 A 1977 CAPLUS

(3) Smithkline Beecham PLC; WO 9624595 A 1996 CAPLUS

L5 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2001 ACS
AN 1999:7993 CAPLUS
DN 130:71568
TI Preparation of 4-phenylpiperidine compounds for pharmaceuticals
IN Benneker, Franciscus Bernardus Gemma; Van Dalen, Frans; Lemmens, Jacobus Maria; Peters, Theodorus Hendricus Antonium; Picha, Frantisek
PA Synthon B.V., Neth.
SO PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9856787	A1	19981217	WO 1997-NL328	19970610
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9731080	A1	19981230	AU 1997-31080	19970610
	US 5874447	A	19990223	US 1997-872023	19970610
	EP 994872	A1	20000426	EP 1997-926276	19970610
	EP 994872	B1	20010425		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LV, FI, RO				
	CN 1256692	A	20000614	CN 1997-182237	19970610
	BR 9714787	A	20000718	BR 1997-14787	19970610
	DE 29724281	U1	20000914	DE 1997-29724281	19970610
	EP 1078925	A1	20010228	EP 2000-203910	19970610
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LV, FI, RO				
	NO 9905455	A	20000209	NO 1999-5455	19991108
PRAI	EP 1997-926276	A	19970610		
	WO 1997-NL328	A	19970610		

OS MARPAT 130:71568
GI



I

AB The invention relates to 4-phenylpiperidine compds. and their salts (I, R = C1-4 alkyl or alkynyl or a Ph group substituted by C1-4 alkyl, alkylthio, alkoxy, halogen, nitro, acylamino, methylsulfonyl or methylenedioxy, or tetrahydronaphthyl; R1 = H, C1-4 trifluoroalkyl, alkyl or alkynyl; X = H, C1-4 alkyl, alkoxy, trifluoroalkyl, hydroxy, halogen, methylthio or aralkoxy and R2 = C1-10 alkyl, Ph group optionally substituted by 1 or more of the following groups: a C1-10 alkyl, halo, nitro, OH, and/or alkoxy). Thus, **paroxetine** maleate was obtained in 85% yield from **paroxetine methanesulfonate** by treating an aq. soln. of the latter with maleic acid, and drying the resulting crystals of the maleate.

RE.CNT 6

RE

(1) AS Ferrosan; EP 0152273 A 1985 CAPLUS

(2) AS Ferrosan; EP 0269303 A 1988 CAPLUS

(3) Beecham Group Plc; EP 0190496 A 1986 CAPLUS

(4) Beecham Group Plc; EP 0223403 A 1987 CAPLUS

(5) Buxton, P; INT J PHARM (IJPHDE, 03785173);88 V42(1-3), P135 CAPLUS

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2001 ACS

AN 1998:13682 CAPLUS

DN 128:75308

TI Preparation of piperidine derivative as intermediates for the preparation of paroxetine

IN Sugi, Kiyoshi; Itaya, Nobushige; Katsura, Tadashi; Igi, Masami; Yamazaki, Shigeya; Ishibashi, Taro; Yamaoka, Teiji; Kawada, Yoshihiro; Tagami, Yayoi

PA Sumika Fine Chemicals Co., Ltd., Japan

SO Eur. Pat. Appl., 37 pp.
CODEN: EPXXDW

DT Patent

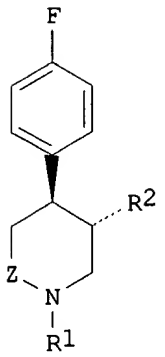
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 812827	A1	19971217	EP 1997-303647	19970529
	R: BE, CH, DE, ES, FR, GB, IT, LI, NL, SE				
	JP 10291975	A2	19981104	JP 1997-145833	19970519
	US 5948914	A	19990907	US 1998-53653	19980402
PRAI	JP 1996-175893		19960613		
	JP 1996-294585		19961015		
	JP 1996-303838		19961029		
	JP 1996-326177		19961120		
	JP 1997-50980		19970218		
	US 1997-871948		19970610		

OS MARPAT 128:75308

GI



I

AB The title compds. (I; R1 = H, benzyloxycarbonyl, tert-BuOCO; R2 = HOCH2, alkylsulfonyloxymethyl, phenylsulfonyloxymethyl group which may have Me group at the 4-position, etc.; Z = CH2, CO) are prepd. I can be used as intermediates for pharmaceuticals such as paroxetine which is an useful as antidepressants (no data). Thus, (.+-.)-trans-4-(4-fluorophenyl)-5-methoxycarbonylpiperidin-2-one (prepn. given) was treated with NaOH to give 87.5% (.+-.)-trans-5-carboxy-4-(4-fluorophenyl)piperidin-2-one.

L5 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2001 ACS
AN 1992:544046 CAPLUS
DN 117:144046
TI Role of essential sulfhydryl groups in drug interactions at the neuronal 5-HT transporter. Differences between amphetamines and 5-HT uptake inhibitors
AU Wolf, William A.; Kuhn, Donald M.
CS Lafayette Clin., Detroit, MI, 48207, USA
SO J. Biol. Chem. (1992), 267(29), 20820-5
CODEN: JBCHA3; ISSN: 0021-9258
DT Journal
LA English
AB The sulfhydryl-selective alkylating agent, N-ethylmaleimide (NEM), has been used as a tool to discern whether different binding domains exist on the neuronal serotonin (5-HT) transporter for 5-HT and 5-HT uptake inhibitors. However, relatively high concns. of NEM and long incubation times have been required for inactivation of the transporter-binding site, which raises the possibility that NEM is reacting with other nucleophilic groups. In the present work, the reactivity and essential nature of sulfhydryl groups assocd. with substrate/inhibitor binding to the neuronal 5-HT transporter were assessed. [3H]**Paroxetine**, a potent and selective 5-HT uptake inhibitor, was used to label the 5-HT transporter. The effects of a relatively wide range of sulfhydryl reagents on [3H] **paroxetine** binding in digitonin-solubilized prepns. of rat brain neuronal membranes and the relative abilities of different classes of drugs to protect against NEM-induced inactivation of [3H] **paroxetine** binding were studied. Digitonin-solubilized prepns. were more sensitive than membrane prepns. to the inactivating effects of NEM. The pK.alpha. of the reactive group was estd. to be 6.17, in the range expected for a reactive sulfhydryl. Sulfhydryls essential to ligand binding reacted preferentially with hydrophobic compds.

(p-hydroxymercuribenzoate = dithiobisnitrobenzoate > Me **methanethiosulfonate** > N-phenylmaleimide > NEM) and were unreactive toward hydrophilic reagents such as iodoacetate and iodoacetamide. 5-HT, 5-HT uptake inhibitors, and cocaine protected the digitonin-solubilized transporter from NEM-induced inactivation, whereas the amphetamine-related releasing agents p-chloroamphetamine and fenfluramine were ineffective. The observation that the binding of some, but not all, ligands requires reduced sulfhydryl groups, suggests that differential mechanisms and/or different binding domains do exist for agents which interact at the neuronal 5-HT transporter.